```
* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:14:07 ON 18 OCT 2007

=> file registry
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

FILE 'REGISTRY' ENTERED AT 14:14:17 ON 18 OCT 2007
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   17 OCT 2007  HIGHEST RN 950885-37-7
DICTIONARY FILE UPDATES:  17 OCT 2007  HIGHEST RN 950885-37-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10 series\10581143\10581143a.str
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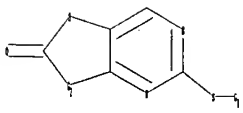
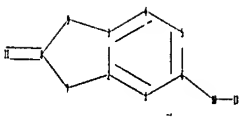




chain nodes :
10 11 13
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
6-10 8-11 10-13
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9
exact/norm bonds :
2-7 3-9 6-10 7-8 8-9 8-11 10-13
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

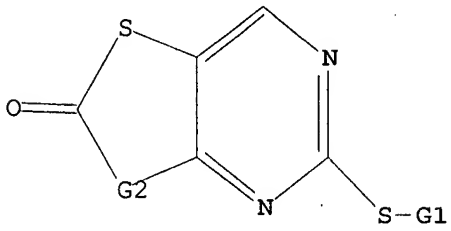
G1:Cb,Ak

G2:O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 Cb,Ak

G2 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 14:14:35 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 7 TO ITERATE

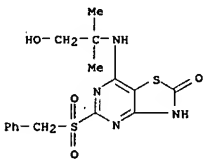
100.0% PROCESSED 7 ITERATIONS 4 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 7 TO 298
PROJECTED ANSWERS: 4 TO 200

L2 4 SEA SSS SAM L1

=> d scan

L2. 4 ANSWERS REGISTRY. COPYRIGHT 2007 ACS on STN
IN Thiazolo[4,5-d]pyrimidin-2(3H)-one,
7-[(2-hydroxy-1,1-dimethylethyl)amino]-
5-[(phenylmethyl)sulfonyl]- (9CI)
MF C16 H18 N4 O4 S2

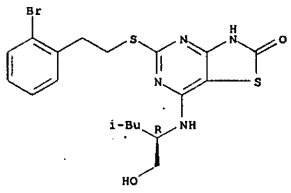


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L2 4 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[2-(2-bromophenyl)ethyl]thio]-7-
[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-
MF C19 H23 Br N4 O2 S2

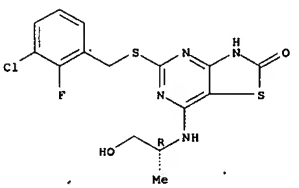
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 4 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(3-chloro-2-
fluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI)
MF C15 H14 Cl F N4 O2 S2
CI COM

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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=> s l1 full
FULL SEARCH INITIATED 14:15:07 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      162 TO ITERATE

100.0% PROCESSED      162 ITERATIONS                                111 ANSWERS
SEARCH TIME: 00.00.01

L3          111 SEA SSS FUL L1

=> d scan
```
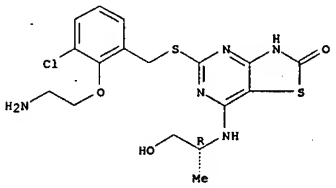

L3 111 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[[2-(2-aminoethoxy)-3-chlorophenyl]methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-, mono(trifluoroacetate) (salt) (9CI)
MF C17 H20 Cl N5 O3 S2 . C2 H F3 O2

CM 1

Absolute stereochemistry.



CM 2

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   172.55     172.76

FILE 'CAPLUS' ENTERED AT 14:15:18 ON 18 OCT 2007
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FILE COVERS 1907 - 18 Oct 2007  VOL 147 ISS 17
FILE LAST UPDATED: 17 Oct 2007  (20071017/ED)

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http://www.cas.org/infopolicy.html

=> s l3
L4            9 L3

=> d l4 1-9 ibib abs hitstr
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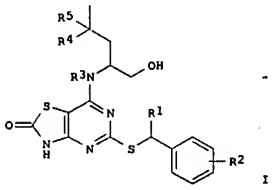
L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1066530 CAPLUS
DOCUMENT NUMBER: 145:397542
TITLE: Preparation of 5,7-disubstituted thiazolo[4,5-d]pyrimidin-2(3H)-ones as chemokine CX3CR1 receptor antagonists.
INVENTOR(S): Nordvall, Gunnar; Ray, Colin; Rein, Tobias; Sohn, Daniel
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 74pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006107257	A1	20061012	WO 2006-SE398	20060403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2007DN07177	A	20071005	IN 2007-DN7177	20070918
PRIORITY APPLN. INFO.:			SE 2005-768 A	20050406
			WO 2006-SE398 W	20060403

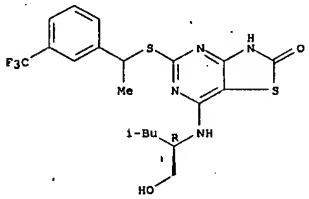
OTHER SOURCE(S): MARPAT 145:397542
GI



I

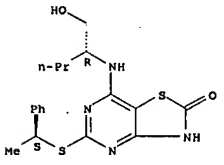
AB Title compds. (I; R1 = Me, Et; R2 = H, 3-cyano, 2-CF3, 2-F, 3-F, 3-CONH2, 3-SO2Me; R3 = H; R4 = H, Me; R5 = H, F), were prepared Thus, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[(1-

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



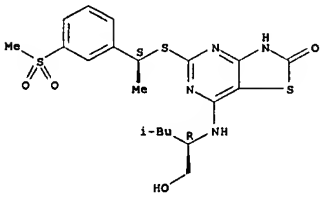
RN 911715-52-1 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)butyl]amino]-5-[[(1S)-1-phenylethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



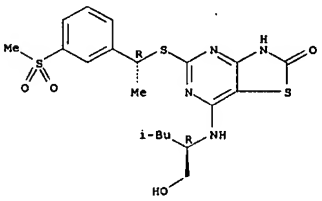
RN 911715-53-2 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[[(1S)-1-[3-(methylsulfonyl)phenyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
phenylethyl)thio]thiazolo[4,5-d]pyrimidin-2(3H)-one [prepd. in 67% yield from (2R)-2-[(2-amino-5-mercaptothiazolo[4,5-d]pyrimidin-7-yl)amino]-4-methylpentan-1-ol and (1-bromoethyl)benzene] showed antagonism at the CX3CR1 receptor with Ki = 1.3 nM.
IT 911715-50-9P 911715-51-0P 911715-52-1P
911715-53-2P 911715-54-3P 911715-55-4P
911715-56-5P 911715-57-6P 911715-58-7P
911715-59-8P 911715-60-1P 911715-61-2P
911715-62-3P 911715-63-4P 911715-64-5P
911715-65-6P 911715-66-7P 911715-67-8P
911715-68-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of thiazolopyrimidinones as chemokine CX3CR1
receptor antagonists).
RN 911715-50-9 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[[(1R)-1-[3-(methylsulfonyl)phenyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

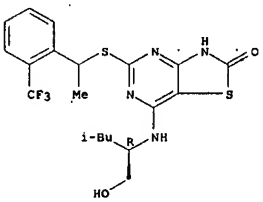


RN 911715-51-0 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[[1-[3-(trifluoromethyl)phenyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

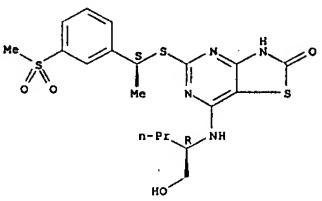
L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 911715-54-3 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[[1-[2-(trifluoromethyl)phenyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



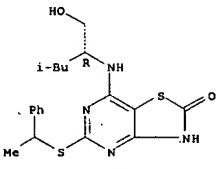
RN 911715-55-4 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)butyl]amino]-5-[[(1S)-1-[3-(methylsulfonyl)phenyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



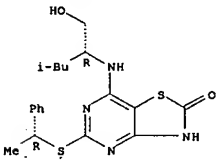
RN 911715-56-5 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[(1-phenylethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.



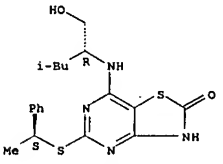
RN 911715-57-6 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[[(1R)-1-phenylethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



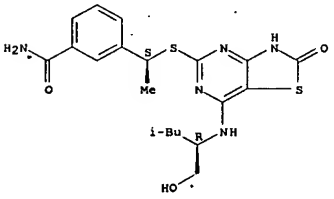
RN 911715-58-7 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[[(1S)-1-phenylethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.



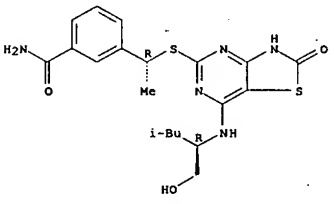
RN 911715-59-8 CAPLUS
CN Benzonitrile, 3-[(1S)-1-[[2,3-dihydro-7-[[(1R)-1-(hydroxymethyl)butyl]amino]-2-oxothiazolo[4,5-d]pyrimidin-5-yl]thio]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



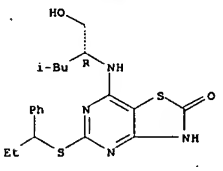
RN 911715-62-3 CAPLUS
CN Benzamide, 3-[(1R)-1-[[2,3-dihydro-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-2-oxothiazolo[4,5-d]pyrimidin-5-yl]thio]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

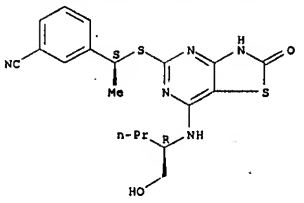


RN 911715-63-4 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[(1-phenylpropyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.

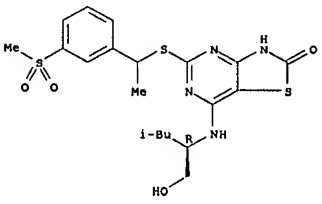


RN 911715-64-5 CAPLUS



RN 911715-60-1 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[[1-[3-(methylsulfonyl)phenyl]ethyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

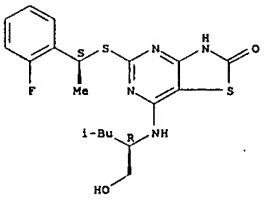


RN 911715-61-2 CAPLUS
CN Benzamide, 3-[(1S)-1-[[2,3-dihydro-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-2-oxothiazolo[4,5-d]pyrimidin-5-yl]thio]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

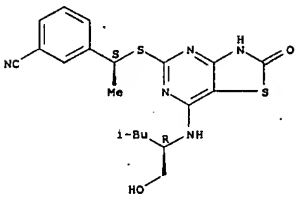
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(1S)-1-(2-fluorophenyl)ethyl]thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 911715-65-6 CAPLUS
CN Benzonitrile, 3-[(1S)-1-[[2,3-dihydro-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-2-oxothiazolo[4,5-d]pyrimidin-5-yl]thio]ethyl]- (CA INDEX NAME)

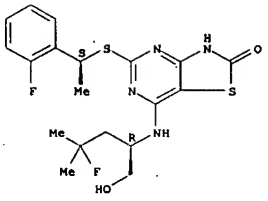
Absolute stereochemistry.



RN 911715-66-7 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-3-fluoro-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[[(1S)-1-(2-fluorophenyl)ethyl]thio]- (CA INDEX NAME)

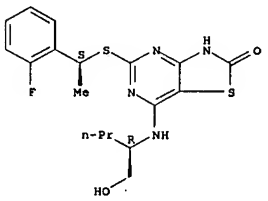
Absolute stereochemistry.

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 911715-67-8 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one,
5-[[(1S)-1-(2-fluorophenyl)ethyl]thio]-
7-[[(1R)-1-(hydroxymethyl)butyl]amino]- (CA INDEX NAME)

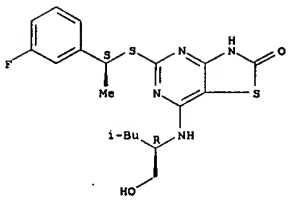
Absolute stereochemistry.



RN 911715-68-9 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one,
5-[[(1S)-1-(3-fluorophenyl)ethyl]thio]-
7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1005627 CAPLUS
DOCUMENT NUMBER: 145:369821
TITLE: Screening for allosteric modulators of class A G protein-coupled receptors
INVENTOR(S): Grahames, Caroline; Mallinder, Philip; Mcintosh, Fraser; Tomkinson, Nicholas; Wright, Tracey
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 217pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006101439	A1	20060928	WO 2006-SE355	20060322

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: SE 2005-668 A 20050323

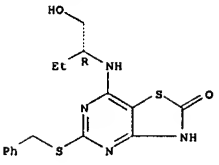
AB The present invention is based on the identification of a binding site for small mol. weight compds. on the intracellular side of CXCR2, a G protein-coupled receptor. Domain swap expts. and site-directed mutagenesis methods in conjunction with homol. modeling approach identify specific a domain (residues 304-326) and amino acids (Lys-320 in CXCR2 and Arg-310 in CXCR1) in mediating binding of inhibitors from different series of small mol. antagonists. Compds. binding CXCR2 at this cytoplasmic site inhibit the binding of interleukin-8 to CXCR2 at an extracellular site via an allosteric mechanism. By alignment and homol. modeling, the intracellular binding site is predicted to be present in all class A G protein-coupled receptors. The elucidation of this novel binding site facilitates designing or identifying specific and potent inhibitory small mol. compds. for therapeutic purposes, including and assays (such as competitive binding assays).

IT 333742-45-3 333742-46-4 333742-63-5
RL: BSU (Biological study, unclassified); BIOL (Biological study) (screening for allosteric modulators of class A G protein-coupled receptors)

RN 333742-45-3 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)propyl]amino]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

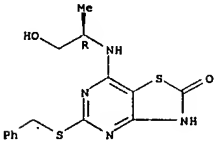
Absolute stereochemistry.

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



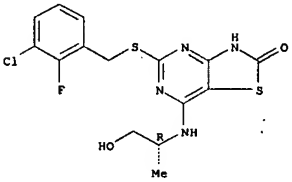
RN 333742-46-4 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 333742-63-5 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(3-chloro-2-fluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

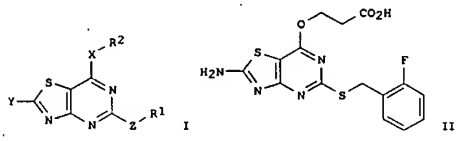
L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:605461 CAPLUS
DOCUMENT NUMBER: 145:83373
TITLE: Preparation of thiazolopyrimidines as chemokine receptor modulators
INVENTOR(S): Meghani, Premji; Cheshire, David Ranulf; Preston, Cherylin Francis; Stonehouse, Jeffrey Paul
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006064228	A2	20060622	WO 2005-GB4825	20051214
WO 2006064228	A3	20070208		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1844054	A2	20071017	EP 2005-818307	20051214
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007DN04651	A	20070817	IN 2007-DN4651	20070618

PRIORITY APPLN. INFO.: GB 2004-27698 A 20041217
GB 2005-2542 A 20050208
WO 2005-GB4825 W 20051214

OTHER SOURCE(S): MARPAT 145:83373
GI

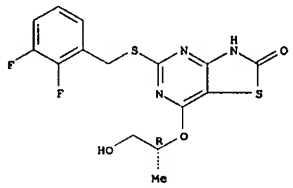


AB The title compds. I [R1 = (un)substituted cycloalkyl, alkyl, alkenyl and alkynyl; X = CH2, a bond, O, S, SO, SO2; Z = CH2, a bond, O, S, SO, SO2 or NR5; R2 = (un)substituted cycloalkyl, Ph, heteroaryl, etc.; Y = H, OH, halo, NR3R4, NR8SO2R9; R3, R4 = H, 4-piperidinyl, cycloalkyl, etc.; or NR3R4 = (un)substituted 4-7 membered satd. heterocyclyl; R5 = H, (un)substituted alkyl, Ph; R8 = H, alkyl, Ph; R9 = H, (un)substituted alkyl, Ph], useful in the treatment of chemokine mediated diseases and disorders, were prepd. Thus, reacting 3-bromopropanoic acid with 2-amino-5[[(2-fluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-7(4H)-one in the presence of (iso-Pr)2NEt and NaI in DMF afforded II. Exemplified compds. I were found to have pIC50 values of greater than 4.5 in IL-8 ligand binding assay. Pharmaceutical compns. comprising the compd. I alone or in combination with other therapeutic agents, were disclosed.

IT 893433-53-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolopyrimidines as chemokine receptor modulators)

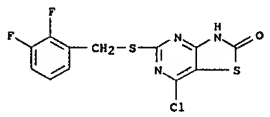
RN 893433-53-9 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[(1R)-2-hydroxy-1-methylethoxy]- (CA INDEX NAME)

Absolute stereochemistry.

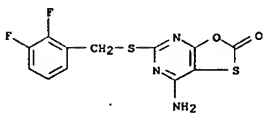


IT 333743-70-7P 855476-58-3P 893433-57-3P
893433-58-4P 893433-59-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiazolopyrimidines as chemokine receptor modulators)

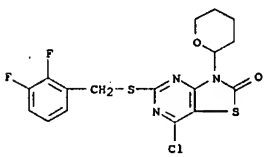
RN 333743-70-7 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-chloro-5-[[(2,3-difluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



RN 855476-58-3 CAPLUS
CN [1,3]Oxathiolo[5,4-d]pyrimidin-2-one, 7-amino-5-[[(2,3-difluorophenyl)methyl]thio]- (CA INDEX NAME)

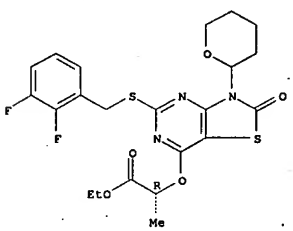


RN 893433-57-3 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-chloro-5-[[(2,3-difluorophenyl)methyl]thio]-3-(tetrahydro-2H-pyran-2-yl)- (CA INDEX NAME)



RN 893433-58-4 CAPLUS
CN Propanoic acid, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2,3-dihydro-2-oxo-3-(tetrahydro-2H-pyran-2-yl)thiazolo[4,5-d]pyrimidin-7-yl]oxy]-, ethyl ester, (2R)- (CA INDEX NAME)

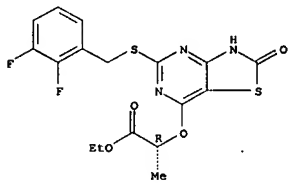
Absolute stereochemistry.



RN 893433-59-5 CAPLUS
CN Propanoic acid, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2,3-dihydro-2-oxothiazolo[4,5-d]pyrimidin-7-yl]oxy]-, ethyl ester, (2R)- (CA INDEX

NAME)

Absolute stereochemistry.



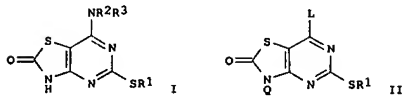
L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:547606 CAPLUS
DOCUMENT NUMBER: 143:78206
TITLE: Process for preparation of 5-difluorobenzylthio-7-aminothiazolo[4,5-d]pyrimidin-2(3H)-ones via protection and amination reactions.
INVENTOR(S): Butters, Michael; Wisedale, Richard; Thomson, Colin; Welham, Matthew James; Watts, Andrew
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005056563	A2	20050623	WO 2004-GB5072	20041202
WO 2005056563	A3	20050825		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004296241	A1	20050623	AU 2004-296241	20041202
CA 2546719	A1	20050623	CA 2004-2546719	20041202
EP 1711505	A2	20061018	EP 2004-801262	20041202
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
CN 1914213	A	20070214	CN 2004-80041445	20041202
BR 2004017300	A	20070306	BR 2004-17300	20041202
JP 2007513131	T	20070524	JP 2006-542009	20041202
IN 2006DN02941	A	20070803	IN 2006-DN2941	20060522
MX 2006PA06148	A	20060719	MX 2006-PA6148	20060531
NO 2006003111	A	20060905	NO 2006-3111	20060704

PRIORITY APPLN. INFO.: GB 2003-28243 A 20031205
WO 2004-GB5072 W 20041202

OTHER SOURCE(S): MARPAT 143:78206
GI



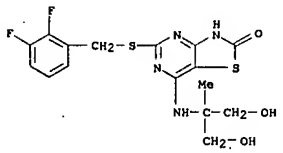
AB Title compds. I [R1 = (substituted) carbocyclyl, alkyl, alkenyl, alkynyl,

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

aryl, heteroaryl; R2, R3 = H, (substituted) alkyl, carbocyclyl, alkenyl, alkynyl], were prepd. by treatment of precursors II (R1 as above; L = leaving group; Q = H) with a protecting reagent to give I; (R1, L as above; Q = protecting group), treatment of the latter with HNR2R3 (R2, R3 as above), and deprotection. Thus, 7-chloro-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-2(3H)-one (prepn. given) and p-TsOH in PhMe at 60° was treated with 3,4-dihydropyran over 1 h and maintained at 60° for 2 h. The mixt. was cooled, stirred with aq. NaHCO3 and then brine and the resulting soln. was heated with THF, Na2CO3, and D-alaninol followed by heating at 60° for 11.5 h and at 65° for 24 h to give 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-3-(tetrahydro-2H-pyran-2-yl)thiazolo[4,5-d]pyrimidin-2(3H)-one. The latter in MeCN/H2O/THF at 65° was treated with 1N HCl over 3 h to give 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one.

IT 676345-23-6P 855476-56-1P 855476-57-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(claimed compound; preparation of difluorobenzylthioaminothiazolopyrimidinones via protection and amination reactions)

RN 676345-23-6 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)

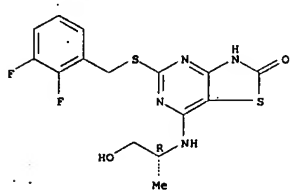


● Na

RN 855476-56-1 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-, monopotassium salt (9CI) (CA INDEX NAME)

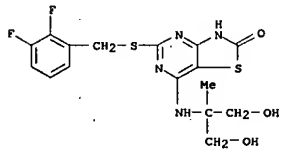
Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● K

RN 855476-57-2 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monopotassium salt (9CI) (CA INDEX NAME)



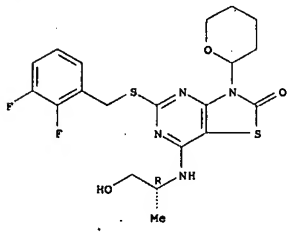
● K

IT 855476-59-4P 855476-60-7P 855476-61-8P
855476-62-9P 855476-63-0P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of difluorobenzylthioaminothiazolopyrimidinones via protection and amination reactions)

RN 855476-59-4 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-3-(tetrahydro-2H-pyran-2-yl)- (CA INDEX NAME)

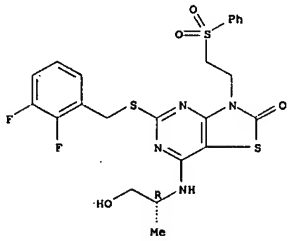
Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



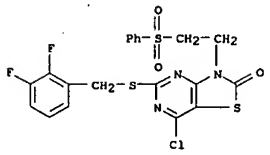
RN 855476-60-7 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-3-[2-(phenylsulfonyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

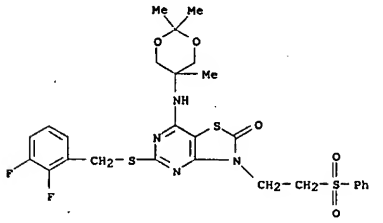


RN 855476-61-8 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-chloro-5-[[(2,3-difluorophenyl)methyl]thio]-3-[2-(phenylsulfonyl)ethyl]- (CA INDEX NAME)

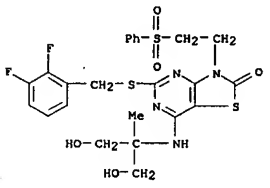
L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



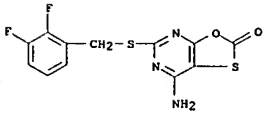
RN 855476-62-9 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-3-[2-(phenylsulfonyl)ethyl]-7-[(2,2,5-trimethyl-1,3-dioxan-5-yl)amino]- (CA INDEX NAME)



RN 855476-63-0 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-3-[2-(phenylsulfonyl)ethyl]- (CA INDEX NAME)

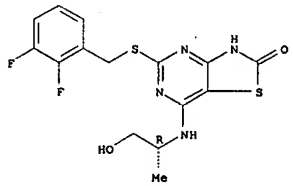


L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

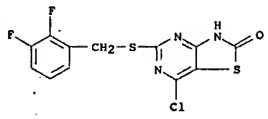


L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
IT 333742-48-6P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of difluorobenzylthioaminothiazolopyrimidinones via protection and amination reactions)
RN 333742-48-6 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 333743-70-7P 855476-58-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of difluorobenzylthioaminothiazolopyrimidinones via protection and amination reactions)
RN 333743-70-7 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-chloro-5-[[(2,3-difluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



RN 855476-58-3 CAPLUS
CN [1,3]Oxathiolo[5,4-d]pyrimidin-2-one, 7-amino-5-[[(2,3-difluorophenyl)methyl]thio]- (CA INDEX NAME)

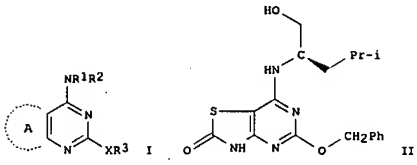
L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:324167 CAPLUS
DOCUMENT NUMBER: 142:392432
TITLE: Preparation of new 2-substituted-4-aminothiazolo[4,5-d]pyrimidines and pteridinones useful as CX3CR1 chemokine receptor antagonists
INVENTOR(S): Nordvall, Gunnar; Rein, Tobias; Sohn, Daniel; Zemribo, Ronald
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005033115	A1	20050414	WO 2004-SE1421	20041005
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004278276	A1	20050414	AU 2004-278276	20041005
CA 2541533	A1	20050414	CA 2004-2541533	20041005
EP 1675862	A1	20060705	EP 2004-775512	20041005
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1856499	A	20061101	CN 2004-80027529	20041005
BR 2004015050	A	20061128	BR 2004-15050	20041005
JP 2007507494	T	20070329	JP 2006-532235	20041005
MX 2006PA03792	A	20060614	MX 2006-PA3792	20060404
US 2007142386	A1	20070621	US 2006-575534	20060407
NO 2006002061	A	20060703	NO 2006-2061	20060508
PRIORITY APPLN. INFO.:			SE 2003-2666 A	20031007
			SE 2003-2667 A	20031007
			WO 2004-SE1421 W	20041005

OTHER SOURCE(S): CASREACT 142:392432; MARPAT 142:392432
GI

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I II

AB There are disclosed 2-substituted-4-aminothiazolo[4,5-d]pyrimidines and pteridinones (shown as I; variables defined below; e.g.

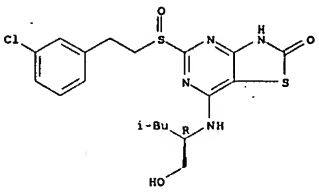
5-(benzyloxy)-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo [4,5-d]pyrimidin-2(3H)-one (shown as II)) and pharmaceutically acceptable salts thereof, together with processes for their preparation, pharmaceutical compns. comprising them and their use in therapy. I are CX3CR1 receptor antagonists and are thereby particularly useful in the treatment or prophylaxis of neurodegenerative disorders, demyelinating disease, atherosclerosis and pain. For I: A = 1,2-dihydro-2-oxo-3-R21pyrazine, 2-(R22R23N)thiazole, or 2-oxothiazoline; R1 and R2 = H, C1-8-alkyl, C2-8-alkenyl, C2-8-alkynyl or C3-7 saturated or partially unsatd. cycloalkyl; the latter 4 groups being optionally further substituted; R3 = C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl or C3-7 saturated or partially unsatd. cycloalkyl; X = O or S(O); R21 = H, CH2OR24, CH2NR24R25, CO2R24 or CONR24R25; R22 and R23 = H, C1-6-alkyl, C2-6-alkenyl or C3-7 saturated or partially unsatd. cycloalkyl; n = 0-2; R4-R20, R24, R25 = H or C1-6-alkyl; addnl. details are given in the claims. Methods of preparation are claimed and 49 example prepns. are included. For example, II was prepared in 5 steps (88, 88, 90, 82 and 16 % yields) starting from (2R)-2-[[2-amino-5-[(2,3-difluorobenzyl)thio][1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methylpentan-1-ol and involving intermediates (2R)-2-[[2-chloro-5-[(2,3-difluorobenzyl)thio][1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methylpentan-1-ol, (2R)-2-[[5-[(2,3-difluorobenzyl)thio]-2-methoxy[1,3]thiazolo[4,5-d]pyrimidin-7-yl]amino]-4-methylpentan-1-ol, 5-[(2,3-difluorobenzyl)thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one and 5-[(2,3-difluorobenzyl)sulfonyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one. When tested in a ligand binding assay, the 49 examples of I gave Ki values of <10 μM, indicating that they are expected to show useful therapeutic activity. For example, II and 5-[(2,3-difluorobenzyl)sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one gave Ki values of 44.6 and 38.0 nM resp. Representative solubility data are shown in which 8 examples of I have much greater solubility than the corresponding thioether analogs of other inventions.

IT 849943-44-8P, 5-[[2-(3-Chlorophenyl)ethyl]sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-49-3P, 5-[[2-(2-Bromophenyl)ethyl]sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-51-7P, 5-[[(2,3-Difluorobenzyl]sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-52-8P, 5-(Benzylsulfinyl)-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-55-1P, 5-[(2-Chlorobenzyl)sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-57-3P, 5-[(4-Chlorobenzyl)sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-59-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of new 2-substituted-4-amino-thiazolo[4,5-d]pyrimidines useful as CX3CR1 chemokine receptor antagonists)

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

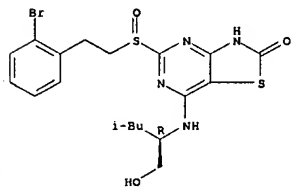
RN 849943-44-8 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[2-(3-chlorophenyl)ethyl]sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



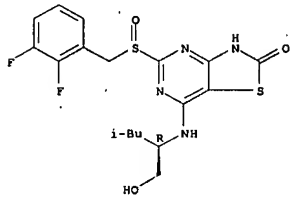
RN 849943-49-3 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[2-(2-bromophenyl)ethyl]sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



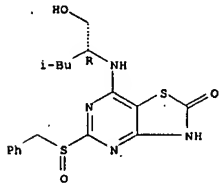
RN 849943-51-7 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 849943-52-8 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[(phenylmethyl)sulfinyl]- (CA INDEX NAME)

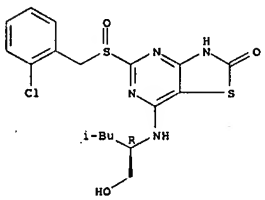
Absolute stereochemistry.



L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

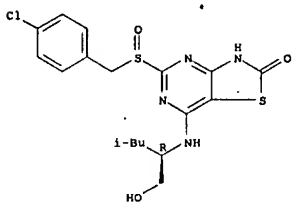
RN 849943-55-1 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2-chlorophenyl)methyl]sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



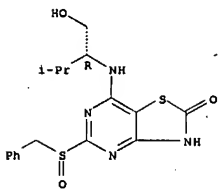
RN 849943-57-3 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(4-chlorophenyl)methyl]sulfinyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 849943-59-5 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-2-methylpropyl]amino]-5-[(phenylmethyl)sulfinyl]- (CA INDEX NAME)

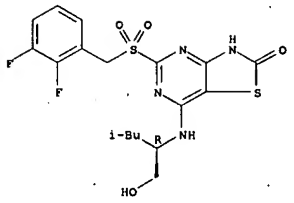
Absolute stereochemistry.



IT 849943-13-1P, 5-[(2,3-Difluorobenzyl)sulfonyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-20-0P, 5-(Benzylthio)-7-[[(1R)-1-(hydroxymethyl)butyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-21-1P, 5-(Benzylsulfonyl)-7-[[(1R)-1-(hydroxymethyl)butyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-30-2P, 5-(Benzylsulfonyl)-7-[[(1R)-1-(hydroxymethyl)-2-methylpropyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-32-4P, 5-(Benzylthio)-7-[[1-(hydroxymethyl)cyclopentyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-33-5P, 5-(Benzylsulfonyl)-7-[[1-(hydroxymethyl)cyclopentyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-48-2P, 5-[[2-(3-Chlorophenyl]ethyl]thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-50-6P, 5-[[2-(2-Bromophenyl)ethyl]thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-56-2P, 5-[(2-Chlorobenzyl)thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one 849943-58-4P, 5-[(4-Chlorobenzyl)thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino][1,3]thiazolo[4,5-d]pyrimidin-2(3H)-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of new 2-substituted-4-amino-thiazolo[4,5-d]pyrimidines useful
as CX3CR1 chemokine receptor antagonists)

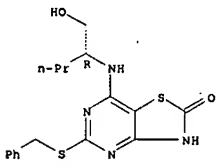
RN 849943-13-1 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]sulfonyl]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



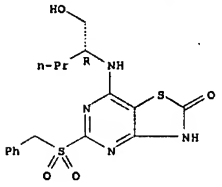
RN 849943-20-0 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)butyl]amino]-5-[(phenylmethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.



RN 849943-21-1 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)butyl]amino]-5-[(phenylmethyl)sulfonyl]- (CA INDEX NAME)

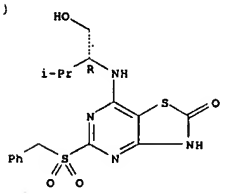
Absolute stereochemistry.



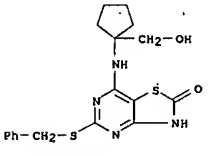
RN 849943-30-2 CAPLUS

CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-2-methylpropyl]amino]-5-[(phenylmethyl)sulfonyl]- (CA INDEX NAME)

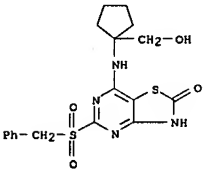
Absolute stereochemistry.



RN 849943-32-4 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[1-(hydroxymethyl)cyclopentyl]amino]-5-[(phenylmethyl)thio]- (CA INDEX NAME)



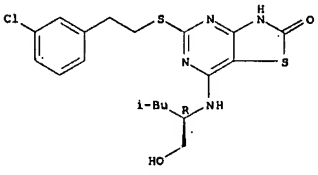
RN 849943-33-5 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[1-(hydroxymethyl)cyclopentyl]amino]-5-[(phenylmethyl)sulfonyl]- (CA INDEX NAME)



RN 849943-48-2 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[2-(3-chlorophenyl)ethyl]thio]-7-

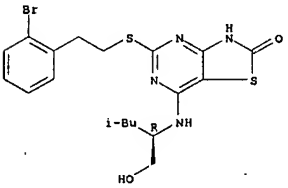
[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



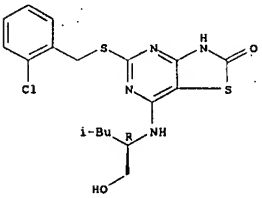
RN 849943-50-6 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[2-(2-bromophenyl)ethyl]thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 849943-56-2 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2-chlorophenyl)methyl]thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

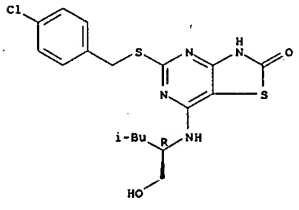
Absolute stereochemistry.



L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

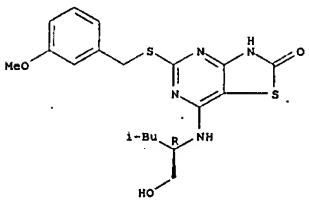
RN 849943-58-4 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(4-chlorophenyl)methyl]thio]-7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



IT 849943-61-9
RL: PRP (Properties)
(solubility comparison to ether analog; preparation of new 2-substituted-4-amino-
thiazolo[4,5-d]pyrimidines useful as CX3CR1 chemokine receptor antagonists)
RN 849943-61-9 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[[(3-methoxyphenyl)methyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

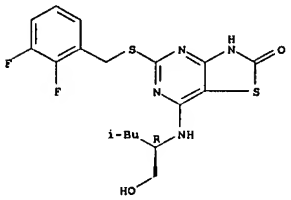


IT 849943-12-0P 849943-29-9P 849943-54-0P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(solubility comparison to ether analog; preparation of new 2-substituted-4-amino-
thiazolo[4,5-d]pyrimidines useful as CX3CR1 chemokine receptor antagonists)
RN 849943-12-0 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

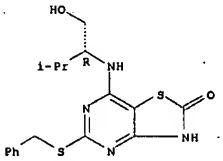
L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



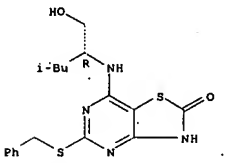
RN 849943-29-9 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-2-methylpropyl]amino]-5-[(phenylmethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.



RN 849943-54-0 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-[(phenylmethyl)thio]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:267340 CAPLUS
DOCUMENT NUMBER: 140:303689
TITLE: Preparation of 5-[[(2,3-difluorophenyl)methyl]thio]-7-{[(2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-one as CXCR2 receptor antagonist
INVENTOR(S): Bonnert, Roger Victor
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026880	A1	20040401	WO 2003-GB3998	20030916
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2498762	A1	20040401	CA 2003-2498762	20030916
AU 2003267571	A1	20040408	AU 2003-267571	20030916
AU 2003267571	B2	20070816		
EP 1543013	A1	20050622	EP 2003-748263	20030916
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003014844	A	20050809	BR 2003-14844	20030916
CN 1681826	A	20051012	CN 2003-822335	20030916
JP 2006503835	T	20060202	JP 2004-537276	20030916
NZ 538826	A	20061222	NZ 2003-538826	20030916
MX 2005PA02935	A	20050527	MX 2005-PA2935	20050316
ZA 2005002272	A	20050919	ZA 2005-2272	20050317
NO 2005001892	A	20050617	NO 2005-1892	20050419
US 2006100221	A1	20060511	US 2005-528316	20051201
PRIORITY APPLN. INFO.:			GB 2002-21828 A	20020920
			WO 2003-GB3998 W	20030916

OTHER SOURCE(S): MARPAT 140:303689
GI

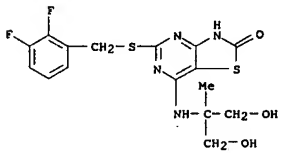
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compound I and its monosodium salt, useful for treating a chemokine mediated diseases such as asthma, allergic rhinitis, COPD, inflammatory bowel disease, osteoarthritis, osteoporosis, rheumatoid arthritis, psoriasis, cancer, etc., were prepared in a multi-step process,

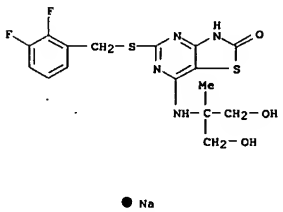
L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
starting from 4-amino-6-hydroxy-2-mercaptopyrimidine and 2,3-difluorobenzyl bromide. The compd. I showed IC50 of < 10 μM against hrCXCR2 binding. The latter was also tested in intracellular calcium mobilisation assay and found to be an antagonist of the CXCR2 receptor in human neutrophils. A process for the prepn. of the compd. I which comprises reaction of II [R = alkyl] with an acid is claimed. The pharmaceutical compn. comprising the compd. I is claimed.

IT 676345-22-5P 676345-23-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(multi-step preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-{[(2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-one as CXCR2 receptor antagonist)

RN 676345-22-5 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]- (CA INDEX NAME)



RN 676345-23-6 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monosodium salt (9CI)
(CA INDEX NAME)



● Na

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:267303 CAPLUS
DOCUMENT NUMBER: 140:303685
TITLE: Preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-{[(1S,2S)-2-hydroxy-1-(hydroxymethyl)propyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-one as CXCR2 receptor antagonist
INVENTOR(S): Brough, Stephen John; McInally, Thomas
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026835	A1	20040401	WO 2003-GB4000	20030916
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2498760	A1	20040401	CA 2003-2498760	20030916
AU 2003264765	A1	20040408	AU 2003-264765	20030916
EP 1542974	A1	20050622	EP 2003-797377	20030916
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003014843	A	20050809	BR 2003-14843	20030916
CN 1681787	A	20051012	CN 2003-822336	20030916
JP 2006503836	T	20060202	JP 2004-537278	20030916
MX 2005PA02936	A	20050728	MX 2005-PA2936	20050316
ZA 2005002267	A	20050919	ZA 2005-2267	20050317
US 2005272750	A1	20051208	US 2005-528270	20050317
NO 2005001893	A	20050617	NO 2005-1893	20050419
PRIORITY APPLN. INFO.:			GB 2002-21829 A	20020920
			WO 2003-GB4000 W	20030916

OTHER SOURCE(S): MARPAT 140:303685
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

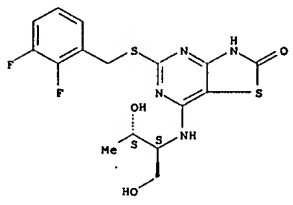
AB The title compound I, useful for treating a chemokine mediated diseases such as asthma, allergic rhinitis, COPD, inflammatory bowel disease, osteoarthritis, osteoporosis, rheumatoid arthritis, psoriasis, cancer, etc., was prepared in a 7-step process, starting from 4-amino-6-hydroxy-2-

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
mercaptopyrimidine and 2,3-difluorobenzyl bromide. The compd. I showed IC50 of < 10 μM against hrCXCR2 binding. The latter was also tested in intracellular calcium mobilisation assay and found to be an antagonist of the CXCR2 receptor in human neutrophils. A process for the prepn. of the compd. I which comprises reaction of II [R = alkyl] with an acid is claimed. The pharmaceutical compn. comprising the compd. I is claimed.

IT 676345-69-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(multi-step preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-{[(1S,2S)-2-hydroxy-1-(hydroxymethyl)propyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-one as CXCR2 receptor antagonist)

RN 676345-69-0 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1S,2S)-2-hydroxy-1-(hydroxymethyl)propyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:814150 CAPLUS
DOCUMENT NUMBER: 137:325430
TITLE: Preparation of thiazolopyrimidines as modulators of chemokine receptor activity
INVENTOR(S): Bonnert, Roger
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083693	A1	20021024	WO 2002-SE731	20020412
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002255401	A1	20021028	AU 2002-255401	20020412
EP 1385854	A1	20040204	EP 2002-724837	20020412
EP 1385854	B1	20050209		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004525972	T	20040826	JP 2002-581448	20020412
AT 288919	T	20050215	AT 2002-724837	20020412
US 2004157853	A1	20040812	US 2003-474610	20031009
US 6949643	B2	20050927		
US 2006111569	A1	20060525	US 2005-225379	20050912

PRIORITY APPLN. INFO.: SE 2001-1322 A 20010412
WO 2002-SE731 W 20020412
US 2003-474610 A1 20031009

OTHER SOURCE(S): MARPAT 137:325430
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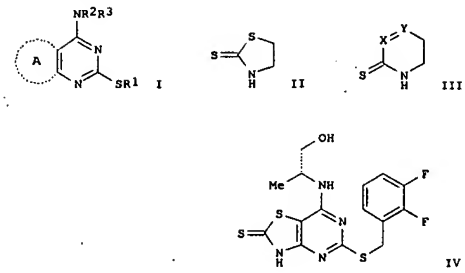
L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



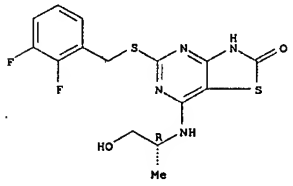
I II III IV

AB The title compds. [I; A = II, III; R1 = cycloalkyl, alkyl, alkenyl, etc.; R2, R3 = H, cycloalkyl, alkyl, etc.; X = CH, CCN; Y = N, CR18; R18 = H, alkyl, Ph], useful for treating a chemokine mediated disease such as psoriasis, rheumatoid arthritis, and COPD, were prepared E.g., a 5-step synthesis of (1R)-IV, starting from 2-amino-5,6-dihydro-5-thioxothiazolo[4,5-d]pyrimidin-7(4H)-one and 2,3-difluorobenzyl bromide, was given. The compds. I were found to have IC50 values of < 10 μM against CXCR2 receptor binding. They were also tested against chemokine GROα (no data given).

IT 333742-48-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolopyrimidines as modulators of chemokine receptor activity)

RN 333742-48-6 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:265425 CAPLUS
DOCUMENT NUMBER: 134:280857
TITLE: Preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine receptors
INVENTOR(S): Willis, Paul Andrew; Bonnert, Roger Victor; Hunt, Simon Fraser; Walters, Iain Alistair Stewart
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001025242	A1	20010412	WO 2000-GB3692	20000926
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2385269	A1	20010412	CA 2000-2385269	20000926
BR 2000014334	A	20020611	BR 2000-14334	20000926
EP 1222195	A1	20020717	EP 2000-960891	20000926
EP 1222195	B1	20040114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003511384	T	20030325	JP 2001-528186	20000926
EE 200200174	A	20030415	EE 2002-174	20000926
HU 2002004246	A2	20030428	HU 2002-4246	20000926
NZ 517880	A	20030926	NZ 2000-517880	20000926
EP 1348709	A2	20031001	EP 2003-15019	20000926
EP 1348709	A3	20031119		
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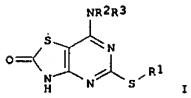
AT 257838	T	20040115	AT 2000-960891	20000926
PT 1222195	T	20040531	PT 2000-960891	20000926
ES 2213043	T3	20040816	ES 2000-960891	20000926
AU 777872	B2	20041104	AU 2000-73049	20000926
TW 260324	B	20060821	TW 2000-89121952	20001019
IN 2002MN00313	A	20050318	IN 2002-MN313	20020314
NO 2002001448	A	20020522	NO 2002-1448	20020322
ZA 2002002380	A	20030804	ZA 2002-2380	20020325
MX 2002PA03263	A	20020930	MX 2002-PA3263	20020327
US 6790850	B1	20040914	US 2002-89571	20020329
HK 1052009	A1	20060113	HK 2003-104330	20030617
US 2004224961	A1	20041111	US 2004-863995	20040609

PRIORITY APPLN. INFO.: SE 1999-3544 A 19991001
EP 2000-960891 A3 20000926
WO 2000-GB3692 W 20000926
US 2002-89571 A1 20020329

OTHER SOURCE(S): MARPAT 134:280857
GI



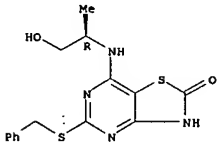
I

AB The title compds. [I; R1 = alkyl, alkenyl, cycloalkyl, etc.; R2, R3 = H, alkyl, cycloalkyl, etc.], useful in treating a chemokine mediated disease, were prepared E.g., a multi-step synthesis of I [R1 = CH2Ph; R2 = CMe2CH2OH; R3 = H] was described. The compds. I were tested and found to be antagonists of the CXCR2 receptor in human neutrophils.

IT 333742-46-4P 333742-48-6P 333742-63-5P
333742-86-2P 333742-87-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine receptors)

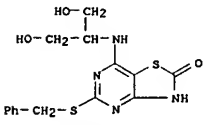
RN 333742-46-4 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 333742-48-6 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



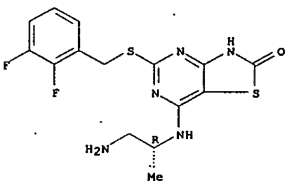
IT 333742-56-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine receptors)

RN 333742-56-6 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-amino-1-methylethyl]amino]-5-[[(2,3-difluorophenyl)methyl]thio]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

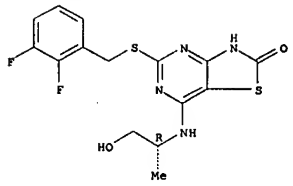
CRN 333742-55-5
CMF C15 H15 F2 N5 O S2

Absolute stereochemistry.



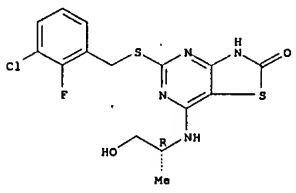
CM 2

CRN 76-05-1
CMF C2 H F3 O2

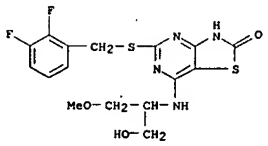


RN 333742-63-5 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(3-chloro-2-fluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



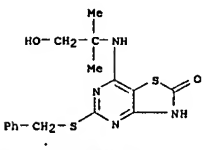
RN 333742-86-2 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(methoxymethyl)ethyl]amino]- (9CI) (CA INDEX NAME)



RN 333742-87-3 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

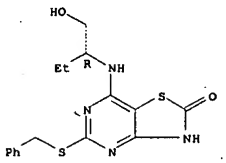
IT 333742-44-2P 333742-45-3P 333742-47-5P
333742-49-7P 333742-50-0P 333742-51-1P
333742-52-2P 333742-53-3P 333742-54-4P
333742-55-5P 333742-57-7P 333742-58-8P
333742-59-9P 333742-60-2P 333742-61-3P
333742-62-4P 333742-64-6P 333742-65-7P
333742-66-8P 333742-67-9P 333742-68-0P
333742-69-1P 333742-70-4P 333742-71-5P
333742-72-6P 333742-73-7P 333742-74-8P
333742-75-9P 333742-76-0P 333742-77-1P
333742-78-2P 333742-79-3P 333742-80-6P
333742-81-7P 333742-82-8P 333742-83-9P
333742-84-0P 333742-85-1P 333742-88-4P
333742-89-5P 333742-90-8P 333742-91-9P
333742-92-0P 333742-93-1P 333742-94-2P
333742-95-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine receptors)

RN 333742-44-2 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

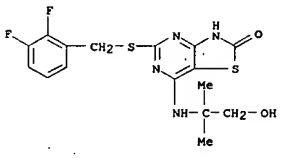


RN 333742-45-3 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-1-(hydroxymethyl)propyl]amino]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

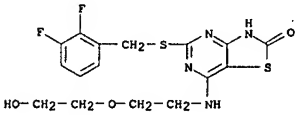
Absolute stereochemistry.



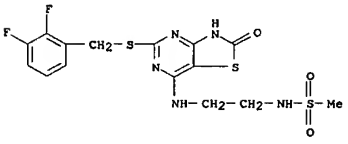
RN 333742-47-5 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[(2-hydroxy-1,1-dimethylethyl)amino]- (9CI) (CA INDEX NAME)



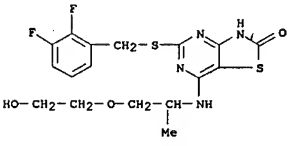
RN 333742-49-7 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-(2-hydroxyethoxy)ethyl]amino]- (9CI) (CA INDEX NAME)



RN 333742-50-0 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (9CI) (CA INDEX NAME)

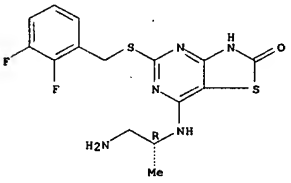


RN 333742-54-4 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-(2-hydroxyethoxy)-1-methylethyl]amino]- (9CI) (CA INDEX NAME)



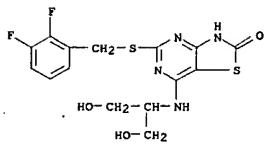
RN 333742-55-5 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-amino-1-methylethyl]amino]-5-[[(2,3-difluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

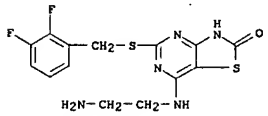


RN 333742-57-7 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-[(2-hydroxyethyl)amino]-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

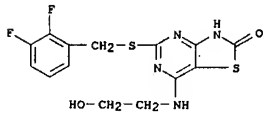
Absolute stereochemistry.



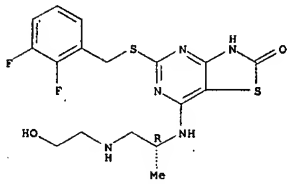
RN 333742-51-1 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[(2-aminoethyl)amino]-5-[[(2,3-difluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



RN 333742-52-2 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[(2-hydroxyethyl)amino]- (9CI) (CA INDEX NAME)



RN 333742-53-3 CAPLUS
CN Methanesulfonamide, N-[2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2,3-dihydro-2-oxothiazolo[4,5-d]pyrimidin-7-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

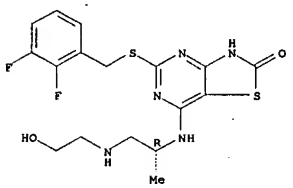


RN 333742-58-8 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-[(2-hydroxyethyl)amino]-1-methylethyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 333742-57-7
CMF C17 H19 F2 N5 O2 S2

Absolute stereochemistry.



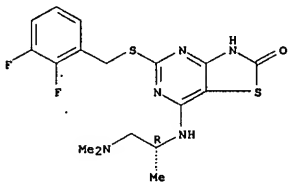
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 333742-59-9 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-

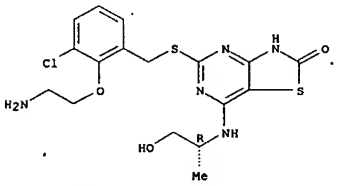
[[(1R)-2-(dimethylamino)-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 333742-60-2 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[[2-(2-aminoethoxy)-3-chlorophenyl]methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

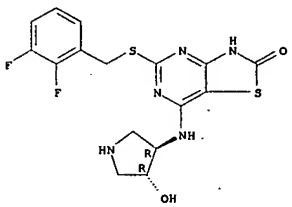


RN 333742-61-3 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[[2-(2-aminoethoxy)-3-chlorophenyl]methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

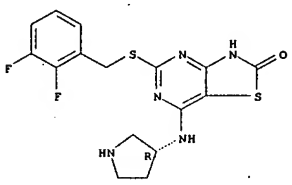
CRN 333742-60-2
CMF C17 H20 Cl N5 O3 S2

Absolute stereochemistry.



RN 333742-65-7 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[(3R)-3-pyrrolidinylamino]-, dihydrochloride (9CI) (CA INDEX NAME)

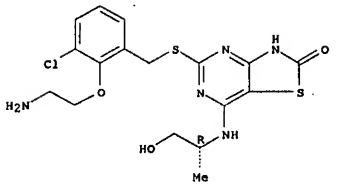
Absolute stereochemistry.



●2 HCl

RN 333742-66-8 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[[(2-methyl-4-thiazolyl)methyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

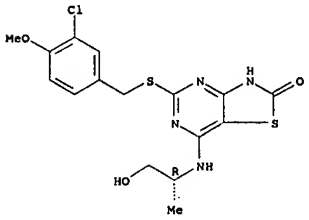


CM 2

CRN 76-05-1
CMF C2 H F3 O2

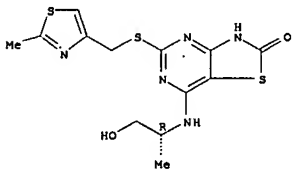
RN 333742-62-4 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(3-chloro-4-methoxyphenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

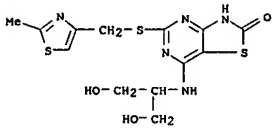


RN 333742-64-6 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(3R,4R)-4-hydroxy-3-pyrrolidinyl]amino]- (9CI) (CA INDEX NAME)

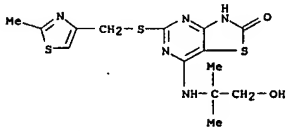
Absolute stereochemistry.



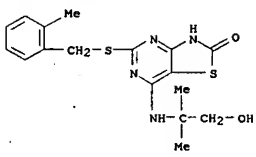
RN 333742-67-9 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-5-[[(2-methyl-4-thiazolyl)methyl]thio]- (9CI) (CA INDEX NAME)



RN 333742-68-0 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[[(2-methyl-4-thiazolyl)methyl]thio]- (9CI) (CA INDEX NAME)

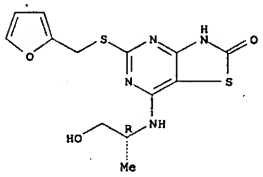


RN 333742-69-1 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[[(2-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



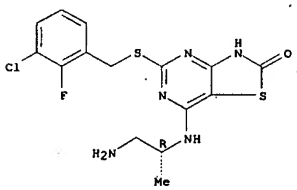
RN 333742-70-4 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[(2-furanylmethyl)thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

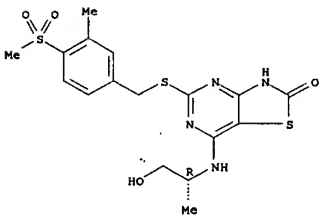


RN 333742-71-5 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-amino-1-methylethyl]amino]-5-[[(3-chloro-2-fluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

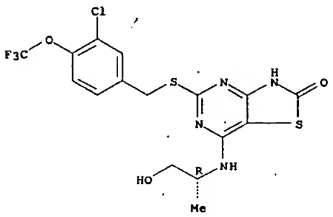


RN 333742-72-6 CAPLUS
CN Propanamide, 2-[[5-[[(2,3-difluorophenyl)methyl]thio]-2,3-dihydro-2-oxothiazolo[4,5-d]pyrimidin-7-yl]amino]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)



RN 333742-75-9 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[[3-chloro-4-(trifluoromethoxy)phenyl]methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

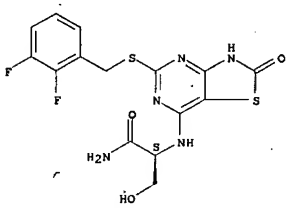
Absolute stereochemistry.



RN 333742-76-0 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[[2-fluoro-3-(trifluoromethyl)phenyl]methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

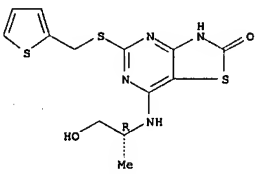
Absolute stereochemistry.

Absolute stereochemistry.



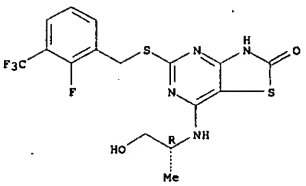
RN 333742-73-7 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(2-thienylmethyl)thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

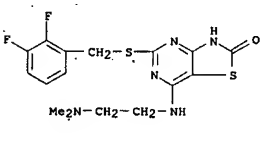


RN 333742-74-8 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[[[3-methyl-4-(methylsulfonyl)phenyl]methyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



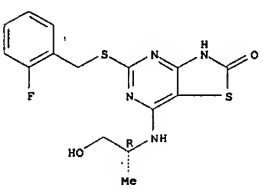
RN 333742-77-1 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-(dimethylamino)ethyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 333742-78-2 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2-fluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

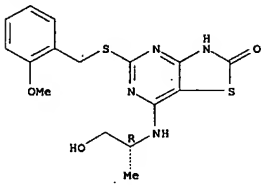
Absolute stereochemistry.



RN 333742-79-3 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-

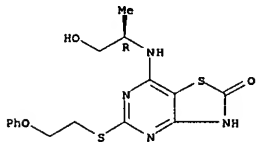
L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
methylethyl]amino]-5-[[(2-methoxyphenyl)methyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



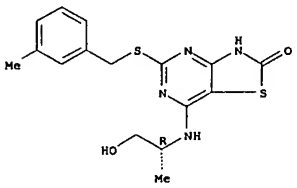
RN 333742-80-6 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(2-phenoxyethyl)thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

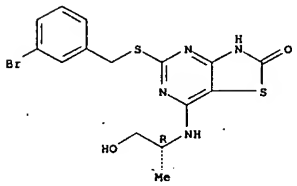


RN 333742-81-7 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[[(3-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

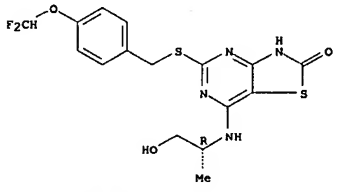


L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



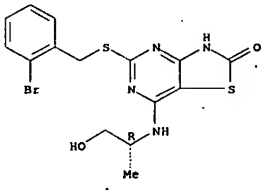
RN 333742-85-1 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[[4-(difluoromethoxy)phenyl]methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 333742-88-4 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2-bromophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

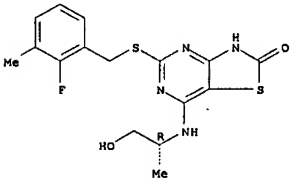
Absolute stereochemistry.



RN 333742-89-5 CAPLUS

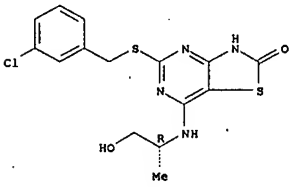
L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 333742-82-8 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2-fluoro-3-methylphenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 333742-83-9 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(3-chlorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

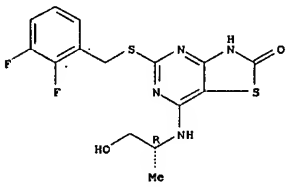


RN 333742-84-0 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(3-bromophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)

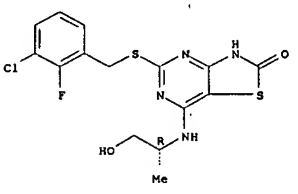
Absolute stereochemistry.



● Na

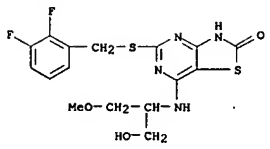
RN 333742-90-8 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(3-chloro-2-fluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



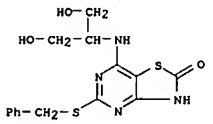
● Na

RN 333742-91-9 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(methoxymethyl)ethyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

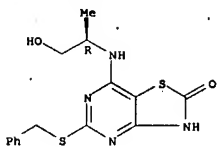
RN 333742-92-0 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-5-[(phenylmethyl)thio]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 333742-93-1 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(phenylmethyl)thio]-, monosodium salt (9CI) (CA INDEX NAME)

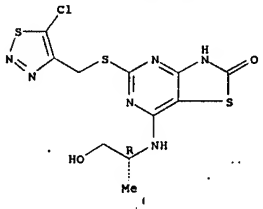
Absolute stereochemistry.



● Na

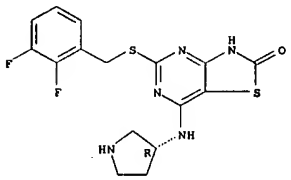
RN 333742-94-2 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(5-chloro-1,2,3-thiadiazol-4-yl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 333742-95-3 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[(3R)-3-pyrrolidinylamino]- (9CI) (CA INDEX NAME)

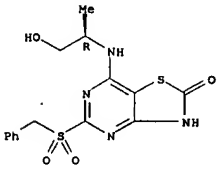
Absolute stereochemistry.



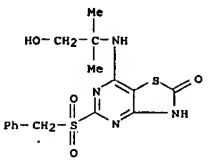
IT 333743-30-9P 333743-50-3P 333743-70-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel thiazolo[4,5-d]pyrimidines as modulators of chemokine receptors)

RN 333743-30-9 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)

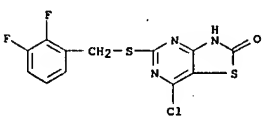
Absolute stereochemistry.



RN 333743-50-3 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-[(2-hydroxy-1,1-dimethylethyl)amino]-5-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 333743-70-7 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 7-chloro-5-[[(2,3-difluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



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 NEWS 21  SEP 17  CA/CAplus enhanced with printed CA page images from
                  1967-1998
 NEWS 22  SEP 17  CAplus coverage extended to include traditional medicine
                  patents
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 NEWS 23   SEP 24   EMBASE, EMBAL, and LEMBASE reloaded with enhancements
 NEWS 24   OCT 02   CA/CAplus enhanced with pre-1907 records from Chemisches
                    Zentralblatt

 NEWS EXPRESS   19 SEPTEMBER 2007:  CURRENT WINDOWS VERSION IS V8.2,
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                AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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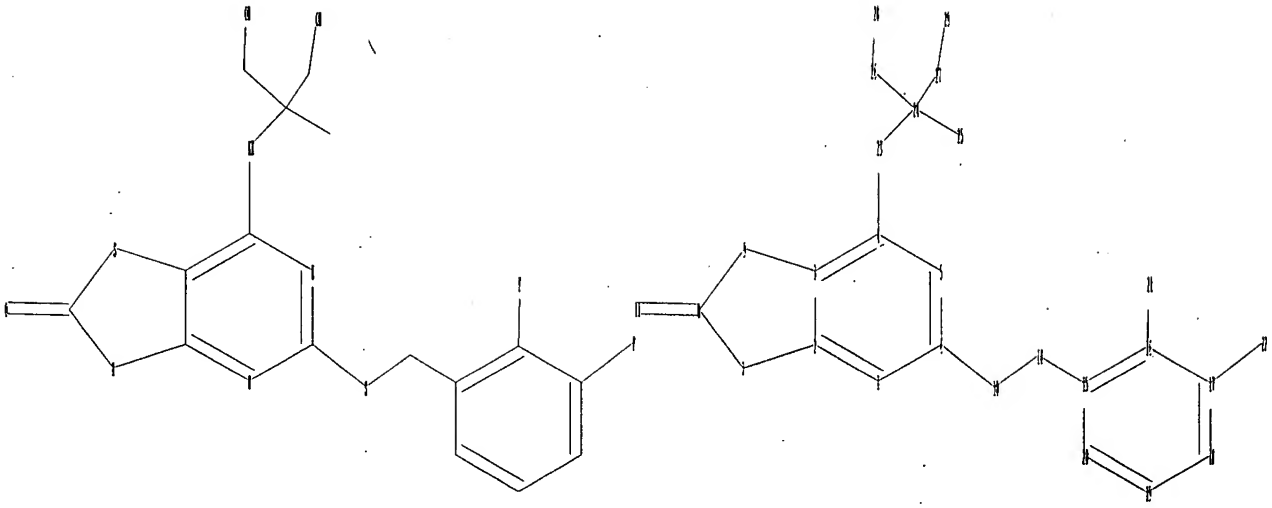

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ring nodes :
1 2 3 4 5 6 7 8 9 15 16 17 18 19 20
chain bonds :
4-23 6-10 8-11 10-14 14-15 16-21 17-22 23-24 24-25 24-26 24-27 26-28
27-29
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 15-16 15-20 16-17 17-18 18-19
19-20
exact/norm bonds :
2-7 3-9 4-23 6-10 7-8 8-9 8-11 10-14 23-24 26-28 27-29
exact bonds :
14-15 16-21 17-22 24-25 24-26 24-27
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20

G1:Cb,Ak

G2:O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:CLASS
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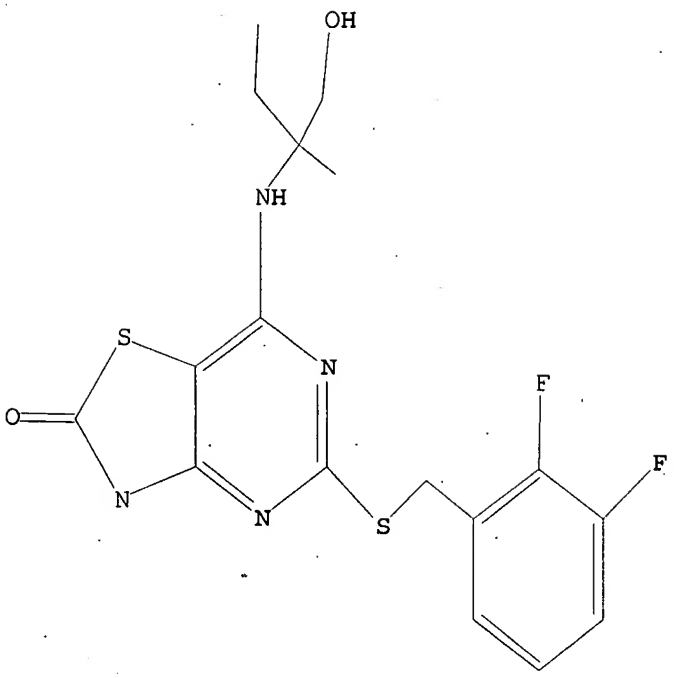
L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 Cb,Ak

G2 O,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -        0 TO ITERATE

100.0% PROCESSED         0 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            0 TO         0
PROJECTED ANSWERS:               0 TO         0

L2             0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 14:56:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -       11 TO ITERATE

100.0% PROCESSED        11 ITERATIONS                                4 ANSWERS
SEARCH TIME: 00.00.01

L3             4 SEA SSS FUL L1

=> d scan
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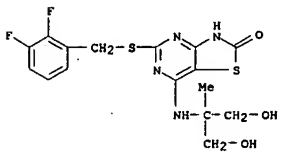
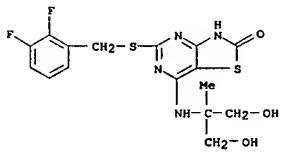
L3 4 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Thiazolo[4,5-d]pyrimidin-2(3H)-one,
5-[[(2,3-difluorophenyl)methyl]thio]-7-
[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monopotassium salt
(9CI)
MF C16 H16 F2 N4 O3 S2 . K



● K

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L3 4 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Thiazolo[4,5-d]pyrimidin-2(3H)-one,
5-[[(2,3-difluorophenyl)methyl]thio]-7-
[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-
MF C16 H16 F2 N4 O3 S2
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 4 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Thiazolo[4,5-d]pyrimidin-2(3H)-one,
5-[[(2,3-difluorophenyl)methyl]thio]-7-
[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-3-[2-
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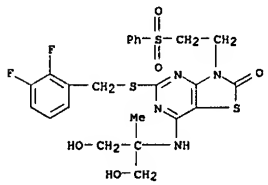
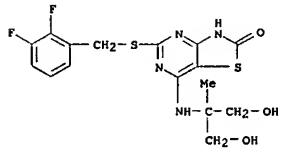


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 4 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Thiazolo[4,5-d]pyrimidin-2(3H)-one,
5-[[(2,3-difluorophenyl)methyl]thio]-7-
[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monosodium salt
(9CI)
MF C16 H16 F2 N4 O3 S2 . Na



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FULL ESTIMATED COST                                       172.55     172.76

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FILE LAST UPDATED: 17 Oct 2007  (20071017/ED)

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L4            2 L3

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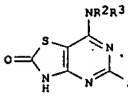
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:547606 CAPLUS
DOCUMENT NUMBER: 143:78206
TITLE: Process for preparation of 5-difluorobenzylthio-7-aminothiazolo[4,5-d]pyrimidin-2(3H)-ones via protection and amination reactions.
INVENTOR(S): Butters, Michael; Wisedale, Richard; Thomson, Colin; Welham, Matthew James; Watts, Andrew
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005056563	A2	20050623	WO 2004-GB5072	20041202
WO 2005056563	A3	20050825		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004296241	A1	20050623	AU 2004-296241	20041202
CA 2546719	A1	20050623	CA 2004-2546719	20041202
EP 1711505	A2	20061018	EP 2004-801262	20041202
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
CN 1914213	A	20070214	CN 2004-80041445	20041202
BR 2004017300	A	20070306	BR 2004-17300	20041202
JP 2007513131	T	20070524	JP 2006-542009	20041202
IN 2006DN02941	A	20070803	IN 2006-DN2941	20060522
MX 2006PA06148	A	20060719	MX 2006-PA6148	20060531
NO 2006003111	A	20060905	NO 2006-3111	20060704
PRIORITY APPLN. INFO.:			GB 2003-28243 A	20031205
			WO 2004-GB5072 W	20041202

OTHER SOURCE(S): MARPAT 143:78206
GI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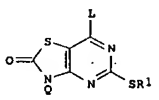
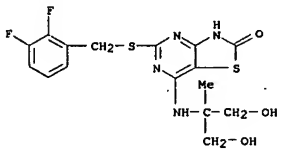

II

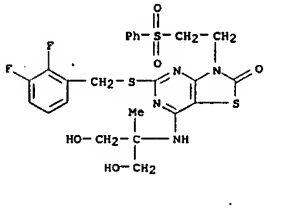
AB Title compds. I [R1 = (substituted) carbocyclyl, alkyl, alkenyl, alkynyl,

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

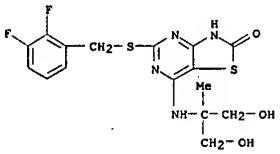


● K

IT 855476-63-0P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of difluorobenzylthioaminothiazolopyrimidinones via protection and amination reactions)
RN 855476-63-0 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-3-[2-(phenylsulfonyl)ethyl]- (CA INDEX NAME)



L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
aryl, heteroaryl; R2, R3 = H, (substituted) alkyl, carbocyclyl, alkenyl, alkynyl], were prepd. by treatment of precursors II (R1 as above; L = leaving group; Q = H) with a protecting reagent to give I; (R1, L as above; Q = protecting group), treatment of the latter with HNR2R3 (R2, R3 as above), and deprotection. Thus, 7-chloro-5-[[(2,3-difluorophenyl)methyl]thio]thiazolo[4,5-d]pyrimidin-2(3H)-one (prepn. given) and p-TsOH in PhMe at 60° was treated with 3,4-dihydropyran over 1 h and maintained at 60° for 2 h. The mixt. was cooled, stirred with aq. NaHCO3 and then brine and the resulting soln. was heated with THF, Na2CO3, and D-alaninol followed by heating at 60° for 11.5 h and at 65° for 24 h to give 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]-3-(tetrahydro-2H-pyran-2-yl)thiazolo[4,5-d]pyrimidin-2(3H)-one. The latter in MeCN/H2O/THF at 65° was treated with 1N HCl over 3 h to give 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(1R)-2-hydroxy-1-methylethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one.
IT 676345-23-6P 855476-57-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(claimed compound; preparation of difluorobenzylthioaminothiazolopyrimidinones via protection and amination reactions)
RN 676345-23-6 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monosodium salt (9CI)
(CA INDEX NAME)



● Na

RN 855476-57-2 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monopotassium salt (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:267340 CAPLUS
DOCUMENT NUMBER: 140:303689
TITLE: Preparation of 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[(2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]thiazolo[4,5-d]pyrimidin-2(3H)-one as CXCR2 receptor antagonist
INVENTOR(S): Bonnert, Roger Victor
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026880	A1	20040401	WO 2003-GB3998	20030916
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2498762	A1	20040401	CA 2003-2498762	20030916
AU 2003267571	A1	20040408	AU 2003-267571	20030916
AU 2003267571	B2	20070816		
EP 1543013	A1	20050622	EP 2003-748263	20030916
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003014844	A	20050809	BR 2003-14844	20030916
CN 1681826	A	20051012	CN 2003-822335	20030916
JP 2006503835	T	20060202	JP 2004-537276	20030916
NZ 538826	A	20061222	NZ 2003-538826	20030916
MX 2005PA02935	A	20050527	MX 2005-PA2935	20050316
ZA 2005002272	A	20050919	ZA 2005-2272	20050317
NO 2005001892	A	20050617	NO 2005-1892	20050419
US 2006100221	A1	20060511	US 2005-528316	20051201
PRIORITY APPLN. INFO.:			GB 2002-21828 A	20020920
			WO 2003-GB3998 W	20030916

OTHER SOURCE(S): MARPAT 140:303689
GI

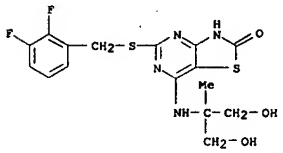
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compound I and its monosodium salt, useful for treating a chemokine mediated diseases such as asthma, allergic rhinitis, COPD, inflammatory bowel disease, osteoarthritis, osteoporosis, rheumatoid arthritis, psoriasis, cancer, etc., were prepared in a multi-step process,

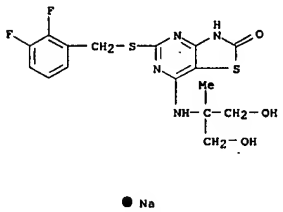
L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
starting from 4-amino-6-hydroxy-2-mercaptopyrimidine and 2,3-difluorobenzyl bromide. The compd. I showed IC50 of < 10 μM against hrCXCR2 binding. The latter was also tested in intracellular calcium mobilisation assay and found to be an antagonist of the CXCR2 receptor in human neutrophils. A process for the prepn. of the compd. I which comprises reaction of II [R = alkyl] with an acid is claimed. The pharmaceutical compn. comprising the compd. I is claimed.

IT 676345-22-5P 676345-23-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(multi-step preparation of 5-{[(2,3-difluorophenyl)methyl]thio}-7-{[(2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino}thiazolo[4,5-d]pyrimidin-2(3H)-one as CXCR2 receptor antagonist)

RN 676345-22-5 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]- (CA INDEX NAME)



RN 676345-23-6 CAPLUS
CN Thiazolo[4,5-d]pyrimidin-2(3H)-one, 5-[[(2,3-difluorophenyl)methyl]thio]-7-[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]-, monosodium salt (9CI)
(CA INDEX NAME)



● Na

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT